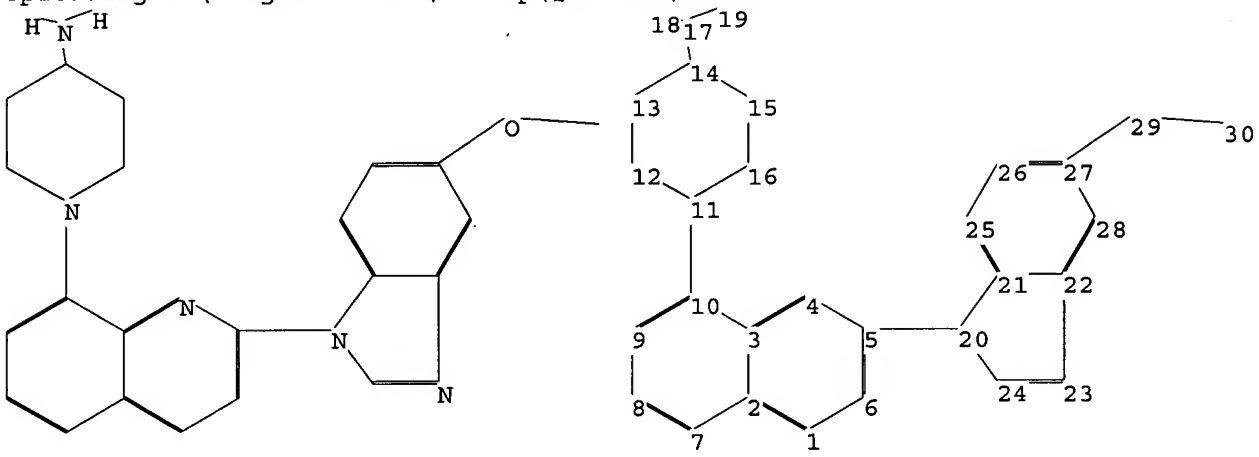
* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:41:46 ON 01 NOV 2005

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\10648151.str



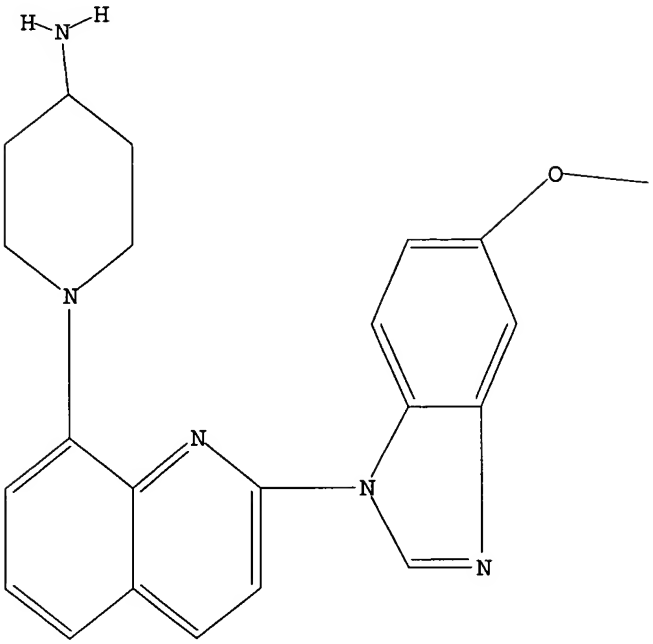
chain nodes :
17 18 19 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 20 21 22 23 24 25 26 27 28
ring/chain nodes :
30
chain bonds :
5-20 10-11 14-17 17-18 17-19 27-29 29-30
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-24 21-22 21-25 22-23 22-28 23-24 25-26 26-27 27-28

exact/norm bonds :
5-20 10-11 11-12 11-16 12-13 13-14 14-15 14-17 15-16 20-21 20-24 22-23 23-24 27-29 29-30
exact bonds :
17-18 17-19
normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 21-22 21-25 22-28 25-26 26-27 27-28
isolated ring systems :
containing 1 : 11 : 20 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS 30:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L3 33 SEA SSS FUL L1

=> file ca

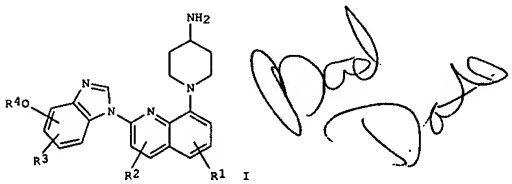
=> s l3
L4 3 L3

=> d ibib abs fhitstr 1-3

L4 ANSWER 1 OF 3 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:93821 CA
TITLE: Processes for the preparation of 1-[(benzimidazol-1-yl)quinolin-8-yl]piperidin-4-ylamine derivatives
INVENTOR(S): Tom, Norma Jacqueline; Ripin, David Harold Brown; Castaldi, Michael James
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

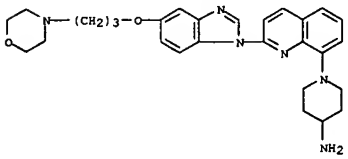
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113322	A1	20041229	WO 2004-IB1983	20040614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005020625	A1	20050127	US 2004-875030	20040623
PRIORITY APPLN. INFO.:			US 2003-482176P P	20030624

OTHER SOURCE(S): CASREACT 142:93821; MARPAT 142:93821
GI



AB The present invention relates to a process for preparing a compound of the formula (I) or a pharmaceutically acceptable salt, prodrug, hydrate or solvate thereof [wherein R1, R2, R3 = independently H, C1-6 alkyl, C3-6

L4 ANSWER 1 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 1 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)

cycloalkyl, halo, cyano, CF3, F2CHO, CF3O, C1-6 alkoxy, C3-6 cycloalkoxy, cycloalkyl, or NR12R13 (wherein R12, R13 = independently H, C1-6 alkyl, or C3-6 cycloalkyl); R4 = (CR5R6)mH or (CR7R8)nQ (wherein Q = optionally substituted 4 to 10 membered arom. or nonarom. heterocyclic contg. one or more heteroatoms each selected from O, S and N; m = 1-5; n = 0-5; R5-R8 = independently H or C1-6 alkyl)], comprising reacting a compd. of the formula (II) (wherein BOC = tert-butoxycarbonyl; R1-R4 = same as above) with a metal alkoxide in the presence of water. The compd. I is useful in the treatment of abnormal cell growth such as cancer in mammals. Thus, mesylation of 3-methyl-3-oxetanemethanol by methanesulfonyl chloride in the presence of Et3N in MeCN followed by etherification with 4-amino-3-nitrophenol gave [4-(3-methyloxetan-3-ylmethoxy)-2-nitrophenyl]amine which underwent amination with 8-benzyloxyquinolin-2-ol in the presence of 1,2-bis(diphenylphosphino)ethane and Pd(OAc)2 in toluene at 100° for 24-30 h to give (8-benzyloxyquinolin-2-yl)[4-[(3-methyloxetan-3-yl)methoxy]-2-nitrophenyl]amine (III). Reductive cyclocondensation and debenzylation of III with formic acid in the presence of Pd(OH)2/C and Et3N in ethanol at 55° for 15-25 h gave 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-ol which was triflated by N-phenyltrifluoromethanesulfonimide in the presence of Et3N in DMF at 20-30° for 20-30 h to give trifluoromethanesulfonic acid 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl ester (IV). IV was coupled with piperidin-4-ylcarbamic acid tert-Bu ester in the presence of BINAP and tris(dibenzylideneacetone)dipalladium in PhMe at 85° for 24-32 h to give [1-[2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]carbamic acid tert-Bu ester which was refluxed with sodium tert-butoxide and 1 equiv of H2O in 2-methyltetrahydrofuran for 24-30° and quenched by 20% aq. citric acid, and basified with 50% aq. NaOH to give, after workup, 86% [1-[2-[5-[(3-methyloxetan-3-yl)methoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine.

IT 670220-86-7P, [1-[2-[5-[3-(Morpholin-4-yl)propoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of 1-[(benzimidazol-1-yl)quinolin-8-yl]piperidin-4-ylamine derivs. by deprotection of tert-butoxycarbonyl group with metal alkoxide and water)

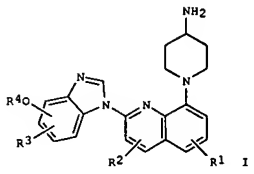
RN 670220-86-7 CA
CN 4-Piperidinamine, 1-[2-[5-[3-(4-morpholinyl)propoxy]-1H-benzimidazol-1-yl]-8-quinolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 3 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:253564 CA
TITLE: Preparation of benzimidazole derivatives as antiproliferative agents
INVENTOR(S): Kath, John Charles; Lyssikatos, Joseph Peter; Wang, Huifen Faye
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

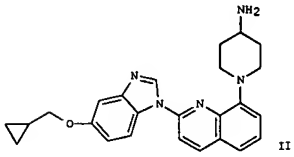
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020431	A2	20040311	WO 2003-IB3634	20030814
WO 2004020431	A3	20040513		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495577	AA	20040311	CA 2003-2495577	20030814
BR 2003013942	A	20050712	BR 2003-13942	20030814
US 2005124599	A1	20050609	US 2003-648151	20030826
PRIORITY APPLN. INFO.:			US 2002-406524P P	20020828
			US 2002-417047P P	20021008
			WO 2003-IB3634 W	20030814

OTHER SOURCE(S): MARPAT 140:253564
GI

L4 ANSWER 2 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



I



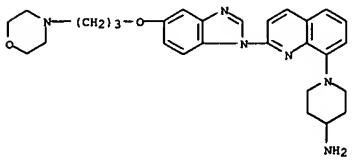
II

AB Title compds. I [wherein R1-R3 = independently H, (cyclo)alkyl, halo, cyano, CF3, F2CHO, F3CO, (cyclo)alkoxy, or NR7R8; R4 = (un)substituted alkyl or heterocyclyl(alkyl); R7 and R8 = independently H or (cyclo)alkyl; and pharmaceutically acceptable salts, prodrugs, hydrates, or solvates thereof] were prepared For example, 2,8-quinolinediol was protected with tert-butyldimethylsilyl chloride and coupled with N-phenyl-bis(trifluoromethanesulfonimide) to give 8-(tert-butyldimethylsilyloxy)quinolin-2-yl triflate. Reaction of the triflate with 4-methoxy-2-nitroaniline, followed by reduction with 10% Pd/C provided N1-[8-(tert-butyldimethylsilanyloxy)quinolin-2-yl]-4-methoxybenzene-1,2-diamine. Deprotection using 2-methoxyethanol and cyclization with formamidine acetate afforded 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, which was converted to the triflate. Substitution with piperidin-4-ylcarbamic acid tert-Bu ester using (±)-BINAP and tris(dibenzylideneacetone)dipalladium (0) in dioxane, followed by reduction to the alc., and substitution with cyclopropylmethyl bromide gave II. The invention also relates to methods of treating abnormal cell growth, such as cancer, in mammals by administering I and to pharmaceutical compns. containing I for treating such disorders (no data).

IT **670220-86-7P**, [1-[2-[5-[3-(Morpholin-4-yl)propoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiproliferative agent; prepn. of benzimidazoles as antiproliferative agents)

RN 670220-86-7 CA

CN 4-Piperidinamine, 1-[2-[5-[3-(4-morpholinyl)propoxy]-1H-benzimidazol-1-yl]-8-quinolinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:33479 CA

TITLE: Preparation of 1-(2-quinolinyl)-1H-benzimidazoles as antiproliferative agents

INVENTOR(S): Barth, Wayne Ernest; Luzzio, Michael Joseph; Lyssikatos, Joseph Peter

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

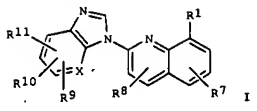
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040217	A1	20010607	WO 2000-IB1636	20001110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2392973	AA	20010607	CA 2000-2392973	20001110
BR 2000015911	A	20020806	BR 2000-15911	20001110
EP 1235825	A1	20020904	EP 2000-971654	20001110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515603	T2	20030507	JP 2001-541901	20001110
EE 200200276	A	20030616	EE 2002-276	20001110
NZ 518280	A	20040528	NZ 2000-518280	20001110
AU 782077	B2	20050630	AU 2001-10480	20001110
ZA 2002004244	A	20030528	ZA 2002-4244	20020528
NO 2002002556	A	20020729	NO 2002-2556	20020529
BG 106812	A	20030131	BG 2002-106812	20020611

PRIORITY APPLN. INFO.: US 1999-168217P P 19991130
WO 2000-IB1636 W 20001110

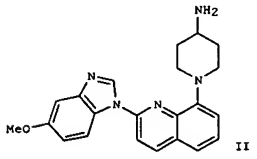
OTHER SOURCE(S): MARPAT 135:33479

GI

L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



I



II

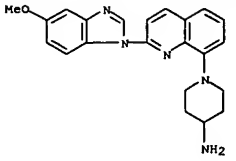
AB Title compds. (I) [wherein X = CH or N; R1 = (un)substituted (CR4R5)tCOOR3, (CR4R5)tCONR3R4, (CR4R5)tOR3, or (CR4R5)t(acyl), wherein (CR4R5)t groups may be unsatd.; t = 0-5; R2, R7, R8, R9, R10, and R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, oxo, halo, CN, NO2, CF3, OCHF2, OCF3, N3, OR3, COR3, COOR3, NR4SO2R6, SO2NR3R4, NR4COR3, CONR3R4, NR5CONR3R4, NR3R4, etc.; R3 = independently H or (un)substituted alkyl, (CR4R5)m(aryl), or (CR4R5)m(heterocyclyl); m = 0-4; R4 and R5 = independently H or alkyl; or R4 and R5 together with the C or N to which they are attached may form a 4-10 membered ring; R6 = substituents provided in the definition of R3 except R6 = H; and pharmaceutically acceptable salts, prodrugs, and solvates thereof] were prepared as antiproliferative agents. For example, II was formed in a 7-step sequence involving (1) silylation and triflation of 2,8-quinolinediol, (2) addition of 4-methoxy-2-nitroaniline, (3) reduction to the diamine using Pd/C, (4) cycloaddn. with formamidine acetate to give 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, (5) triflation, (6) addition of piperidin-4-ylcarbamic acid tert-Bu ester, and (7) deprotection using TFA. I inhibited PDGFRβ tyrosine kinase activity with IC50 values ranging from 1 nM to 1000 nM. Thus, I are useful for the treatment of diseases involving abnormal cell growth, such as cancer (no data).

IT **343786-42-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1-(2-quinolinyl)-1H-benzimidazole antiproliferative agents from quinolines and anilines)

RN 343786-42-5 CA

CN 4-Piperidinamine, 1-[2-(5-methoxy-1H-benzimidazol-1-yl)-8-quinolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

10/648,151

=> file marpat

=> s l1 full

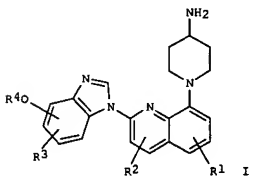
L5 3 SEA SSS FUL L1

=> d ibib abs fqhit 1-3

L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:93821 MARPAT
TITLE: Processes for the preparation of 1-[(benzimidazol-1-yl)quinolin-8-yl]piperidin-4-ylamine derivatives
INVENTOR(S): Tom, Norma Jacqueline; Ripin, David Harold Brown; Castaldi, Michael James
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

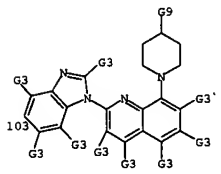
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113322	A1	20041229	WO 2004-IB1983	20040614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005020625	A1	20050127	US 2004-875030	20040623

PRIORITY APPLN. INFO.: US 2003-482176P 20030624
OTHER SOURCE(S): CASREACT 142:93821
GI



AB The present invention relates to a process for preparing a compound of the formula (I) or a pharmaceutically acceptable salt, prodrug, hydrate or solvate thereof [wherein R1, R2, R3 = independently H, C1-6 alkyl, C3-6 cycloalkyl, halo, cyano, CF3, F2CHO, CF3O, C1-6 alkoxy, C3-6 cycloalkoxy,

L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G6 = (1-5) CH2
G9 = NH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts, prodrugs, hydrates or solvates
Note: also incorporates claim 13

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
cycloalkyl, or NR12R13 (wherein R12, R13 = independently H, C1-6 alkyl, or C3-6 cycloalkyl); R4 = (CR5R6)mH or (CR7R8)nQ (wherein Q = optionally substituted 4 to 10 membered arom. or nonarom. heterocyclic contg. one or more heteroatoms each selected from O, S and N; m = 1-5; n = 0-5; R5-R8 = independently H or C1-6 alkyl)], comprising reacting a compd. of the formula (II) (wherein BOC = tert-butoxycarbonyl; R1-R4 = same as above) with a metal alkoxide in the presence of water. The compd. I is useful in the treatment of abnormal cell growth such as cancer in mammals. Thus, mesylation of 3-methyl-3-oxetanemethanol by methanesulfonyl chloride in the presence of Et3N in MeCN followed by etherification with 4-amino-3-nitrophenol gave [4-(3-methyloxetan-3-ylmethoxy)-2-nitrophenyl]amine which underwent amination with 8-benzyloxyquinolin-2-ol in the presence of 1,2-bis(diphenylphosphino)ethane and Pd(OAc)2 in toluene at 100° for 24-30 h to give (8-benzyloxyquinolin-2-yl)[4-[(3-methyloxetan-3-yl)methoxy]-2-nitrophenyl]amine (III). Reductive cyclocondensation and debenzylation of III with formic acid in the presence of Pd(OH)2/C and Et3N in ethanol at 55° for 15-25 h gave 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-ol which was triflated by N-phenyltrifluoromethanesulfonimide in the presence of Et3N in DMF at 20-30° for 20-30 h to give trifluoromethanesulfonic acid 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl ester (IV). IV was coupled with piperidin-4-ylcarbamic acid tert-Bu ester in the presence of BINAP and tris(dibenzylideneacetone)dipalladium in PhMe at 85° for 24-32 h to give [1-[2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]carbamic acid tert-Bu ester which was refluxed with sodium tert-butoxide and 1 equiv of H2O in 2-methyltetrahydrofuran for 24-30° and quenched by 20% aq. citric acid, and basified with 50% aq. NaOH to give, after workup, 86% [1-[2-[5-[(3-methyloxetan-3-yl)methoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine.

MSTR 1

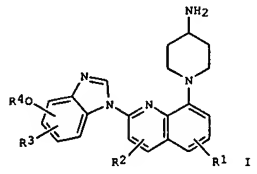
G2 = 103

L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:253564 MARPAT
TITLE: Preparation of benzimidazole derivatives as antiproliferative agents
INVENTOR(S): Kath, John Charles; Lyssikatos, Joseph Peter; Wang, Huifen Faye
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

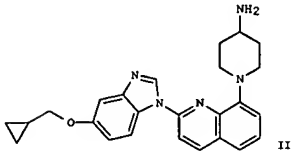
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020431	A2	20040311	WO 2003-IB3634	20030814
WO 2004020431	A3	20040513		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495577	AA	20040311	CA 2003-2495577	20030814
BR 2003013942	A	20050712	BR 2003-13942	20030814
US 2005124599	A1	20050609	US 2003-648151	20030826

PRIORITY APPLN. INFO.: US 2002-406524P 20020828
US 2002-417047P 20021008
WO 2003-IB3634 20030814
GI

L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

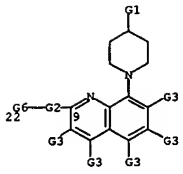


II

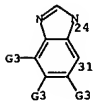
AB Title compds. I [wherein R1-R3 = independently H, (cyclo)alkyl, halo, cyano, CF3, F2CHO, F3CO, (cyclo)alkoxy, or NR7R8; R4 = (un)substituted alkyl or heterocyclyl(alkyl); R7 and R8 = independently H or (cyclo)alkyl; and pharmaceutically acceptable salts, prodrugs, hydrates, or solvates thereof] were prepared For example, 2,8-quinolinediol was protected with tert-butyldimethylsilyl chloride and coupled with N-phenyl-bis(trifluoromethanesulfonimide) to give 8-(tert-butyldimethylsilyloxy)quinolin-2-yl triflate. Reaction of the triflate with 4-methoxy-2-nitroaniline, followed by reduction with 10% Pd/C provided N1-[8-(tert-butyldimethylsilanyloxy)quinolin-2-yl]-4-methoxybenzene-1,2-diamine. Deprotection using 2-methoxyethanol and cyclization with formamidine acetate afforded 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, which was converted to the triflate. Substitution with piperidin-4-ylcarbamic acid tert-Bu ester using (±)-BINAP and tris(dibenzylideneacetone)dipalladium (0) in dioxane, followed by reduction to the alc., and substitution with cyclopropylmethyl bromide gave II. The invention also relates to methods of treating abnormal cell growth, such as cancer, in mammals by administering I and to pharmaceutical compns. containing I for treating such disorders (no data).

MSTR 1

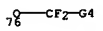
L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = NH2
G2 = 24-9 31-22



G3 = 76



Patent location: claim 1
Note: also incorporates claim 15
Note: or pharmaceutically acceptable salts, prodrugs, hydrates or solvates
Note: substitution is restricted

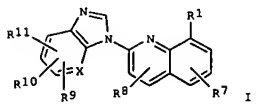
L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:33479 MARPAT
TITLE: Preparation of 1-(2-quinolinyl)-1H-benzimidazoles as antiproliferative agents
INVENTOR(S): Barth, Wayne Ernest; Luzzio, Michael Joseph; Lyssikatos, Joseph Peter
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

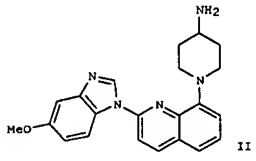
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040217	A1	20010607	WO 2000-IB1636	20001110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2392973	AA	20010607	CA 2000-2392973	20001110
BR 2000015911	A	20020806	BR 2000-15911	20001110
EP 1235825	A1	20020904	EP 2000-971654	20001110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515603	T2	20030507	JP 2001-541901	20001110
EE 200200276	A	20030616	EE 2002-276	20001110
NZ 518280	A	20040528	NZ 2000-518280	20001110
AU 782077	B2	20050630	AU 2001-10480	20001110
ZA 2002004244	A	20030528	ZA 2002-4244	20020528
NO 2002002556	A	20020729	NO 2002-2556	20020529
BG 106812	A	20030131	BG 2002-106812	20020611
PRIORITY APPLN. INFO.:			US 1999-168217P	19991130
			WO 2000-IB1636	20001110

GI

L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



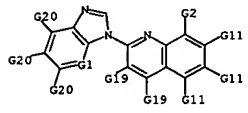
I



II

AB Title compds. (I) [wherein X = CH or N; R1 = (un)substituted (CR4R5)tCOOR3, (CR4R5)tCONR3R4, (CR4R5)tOR3, or (CR4R5)t(acyl), wherein (CR4R5)t groups may be unsatd.; t = 0-5; R2, R7, R8, R9, R10, and R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, oxo, halo, CN, NO2, CF3, OCHF2, OCF3, N3, OR3, COR3, COOR3, NR4SO2R6, SO2NR3R4, NR4COR3, CONR3R4, NR5CONR3R4, NR3R4, etc.; R3 = independently H or (un)substituted alkyl, (CR4R5)m(aryl), or (CR4R5)m(heterocyclyl); m = 0-4; R4 and R5 = independently H or alkyl; or R4 and R5 together with the C or N to which they are attached may form a 4-10 membered ring; R6 = substituents provided in the definition of R3 except R6 = H; and pharmaceutically acceptable salts, prodrugs, and solvates thereof] were prepared as antiproliferative agents. For example, II was formed in a 7-step sequence involving (1) silylation and triflation of 2,8-quinolinediol, (2) addition of 4-methoxy-2-nitroaniline, (3) reduction to the diamine using Pd/C, (4) cycloaddn. with formamidine acetate to give 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, (5) triflation, (6) addition of piperidin-4-ylcarbamic acid tert-Bu ester, and (7) deprotection using TFA. I inhibited PDGFRβ tyrosine kinase activity with IC50 values ranging from 1 nM to 1000 nM. Thus, I are useful for the treatment of diseases involving abnormal cell growth, such as cancer (no data).

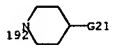
MSTR 1



L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = 20

G2 = 192



G20 = 141

G21 = NH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts, prodrugs, or solvates
Note: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

10/648,151

```
=> d his

     (FILE 'HOME' ENTERED AT 15:41:46 ON 01 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 15:41:51 ON 01 NOV 2005
L1              STRUCTURE UPLOADED
L2            2 S L1 SAM
L3           33 S L1 FULL

     FILE 'CA' ENTERED AT 15:42:18 ON 01 NOV 2005
L4            3 S L3

     FILE 'MARPAT' ENTERED AT 15:42:35 ON 01 NOV 2005
L5            3 S L1 FULL

=>

---Logging off of STN---


=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:42:55 ON 01 NOV 2005
```